ORIGINAL ARTICLE

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Different sensitivities to competitive inhibition of benzodiazepine receptor binding of ^{11}C-iomazenil and ^{11}C-flumazenil in rhesus monkey brain

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The *in vivo* binding kinetics of ^{11}C-iomazenil were compared with those of ^{11}C-flumazenil binding in rhesus monkey brain. The monkey was anesthetized with ketamine and intravenously injected with either ^{11}C-iomazenil or ^{11}C-flumazenil in combination with the coadministration of different doses of non-radioactive flumazenil (0, 5 and 20 μg/kg).

The regional distribution of ^{11}C-iomazenil in the brain was similar to that of ^{11}C-flumazenil, but the sensitivity of ^{11}C-iomazenil binding to competitive inhibition by non-radioactive flumazenil was much less than that of ^{11}C-flumazenil binding. A significant reduction in ^{11}C-flumazenil binding in the cerebral cortex was observed with 20 μg/kg of flumazenil, whereas a relatively smaller inhibition of ^{11}C-iomazenil binding in the same region was observed with the same dose of flumazenil. These results suggest that ^{11}C-flumazenil may be a superior radiotracer for estimating benzodiazepine receptor occupancy in the intact brain.

Key words: ^{11}C-iomazenil, ^{11}C-flumazenil, benzodiazepine receptors, rhesus monkey, PET